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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BURCH Examiner #: 59193 Date: 1/24/06
Art Unit: 1624 Phone Number: 2-0663 Serial Number: 10808600
Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

Inventors (please provide full names): _____

Earliest Priority Date: _____

Search Topic:

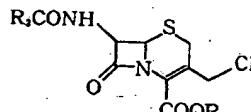
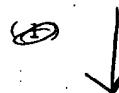
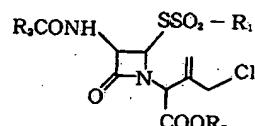
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

CAS React.

R₂, R₃ = C

R₁ = C / N
↑
ring only



Solvent must be of
form R-OH

R = carbon

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Searcher: _____

Type of Search

Vendors and cost where applicable

Searcher Phone #: _____

NA Sequence (#)

STN Dialog

Searcher Location: _____

AA Sequence (#)

Questel/Orbit Lexis/Nexis

Date Searcher Picked Up: _____

Structure (#)

Westlaw WWW/Internet

Date Completed: _____

Bibliographic

In-house sequence systems

Searcher Prep & Review Time: _____

Litigation

Commercial Oligomer Score/Length
Interference SPDI Encode/Transl
Other (specify) _____

Online Time: _____

Fulltext
Other

=> fil casreact
FILE 'CASREACT' ENTERED AT 12:11:42 ON 03 FEB 2006

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FILE 'HCAPLUS' ENTERED AT 11:03:13 ON 03 FEB 2006
L1 1 S US20050215782/PN
SEL RN

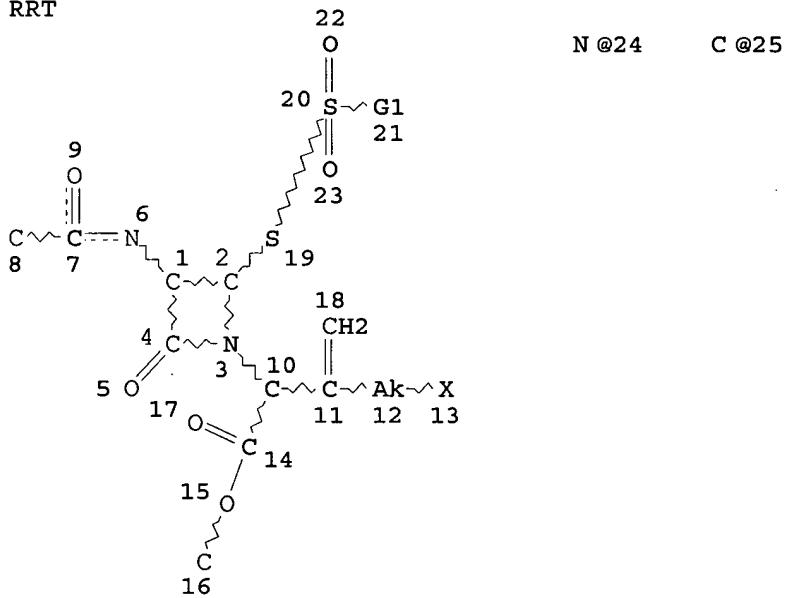
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L2 7 S E1-E7

FILE 'CASREACT' ENTERED AT 11:06:26 ON 03 FEB 2006
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L5 STR L3
L6 0 S L5 SAM
L7 STR L5
L8 0 S L7 SAM
L9 4 S L7 FUL

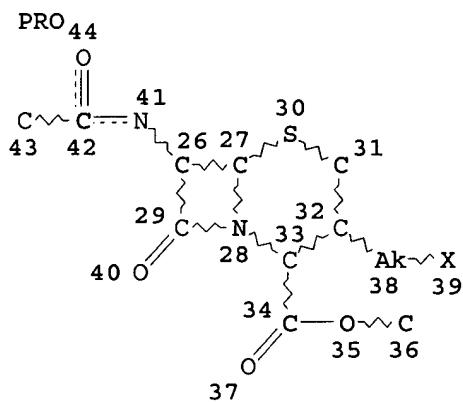
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L7 STR

RRT



Page 1-A



Page 2-A

VAR G1=24/25

NODE ATTRIBUTES:

NSPEC	IS RC	AT	8
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NSPEC	IS R	AT	24
NSPEC	IS RC	AT	25
NSPEC	IS RC	AT	36
NSPEC	IS RC	AT	43

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE

L9 4 SEA FILE=CASREACT SSS FUL L7 (4 REACTIONS)

=> d 19 1-4 ibib abs crd

L9 ANSWER 1 OF 4 CASREACT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 143:346982 CASREACT

TITLE: Process for preparing crystalline
3-chloromethyl-3-cephem derivativesINVENTOR(S): Matsumoto, Nobuo; Kawakabe, Hiroshi; Manabe,
Yasuko

PATENT ASSIGNEE(S): Japan

SOURCE: U.S. Pat. Appl. Publ., 15 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

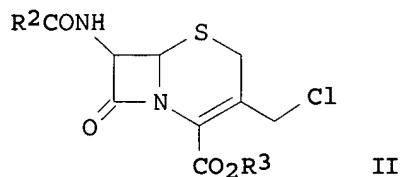
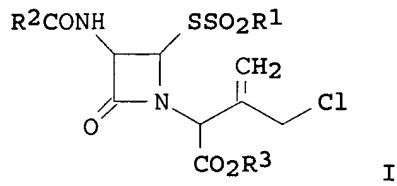
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

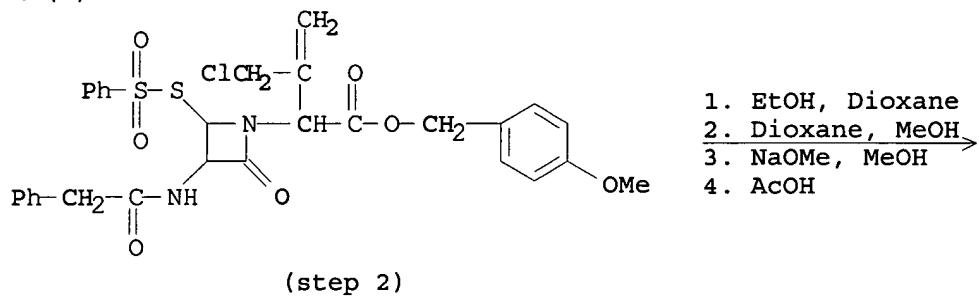
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2005215782	A1	20050929	US 2004-808600	20040325
PRIORITY APPLN. INFO.:			US 2004-808600	20040325
OTHER SOURCE(S):		MARPAT 143:346982		

GI

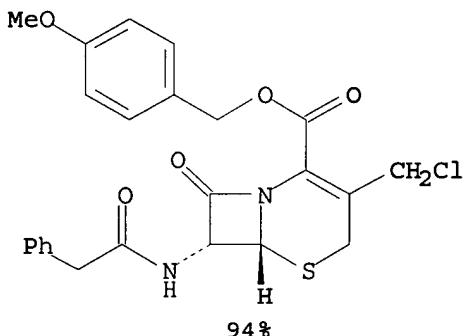


AB A chlorinated azetidinone derivative I [R1 = (un)substituted aryl, heterocycle; R2, R3 = (un)substituted aromatic hydrocarbon] and an alcoholate are allowed to react in a solvent containing at least one of alcs. and an ether at a pH of 8 or less, and thus, 3-chloromethyl-3-cephem derivative II is prepared. Thus, I [R1 = Ph, R2 = CH2Ph, R3 = CH2C6H4OMe-4] in dioxane was treated with NaOMe in MeOH to give 94.1% II [R2 = CH2Ph, R3 = CH2C6H4OMe-4].

RX(1) OF 1



(step 2)



NOTE: second stage methnaol added to recatant in dioxane before addn.; fourth stage reactant and reagent added simultaneously via dripping from addn. funnels; last stage neutralization

CON: STAGE(1) room temperature -> 0 deg C

STAGE(2) -2 - 2 deg C, pH 4

STAGE(3) 4 hours, -2 - 2 deg C; 0.25 hours, -2 - 2 deg C,
pH 7 - 8

STAGE(4) 0.5 hours, 0 deg C, pH 4 - 5

L9 ANSWER 2 OF 4 CASREACT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 142:316614 CASREACT

TITLE: Process for producing 3-chloromethyl-3-cephem derivative

INVENTOR(S): Matsumoto, Nobuo; Kawakabe, Hiroshi; Manabe, Yasuko

PATENT ASSIGNEE(S): Nippon Chemical Industrial Co., Ltd., Japan

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026176	A1	20050324	WO 2004-JP12925	20040906
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,				

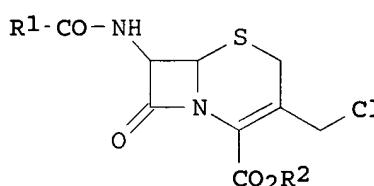
KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
 MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
 PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
 TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
 ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH,
 CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
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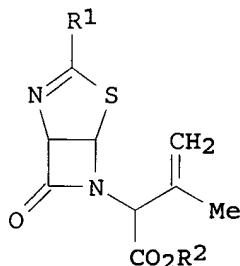
PRIORITY APPLN. INFO.: JP 2003-316386 20030909

OTHER SOURCE(S): MARPAT 142:316614

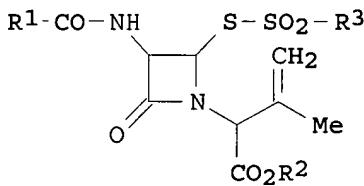
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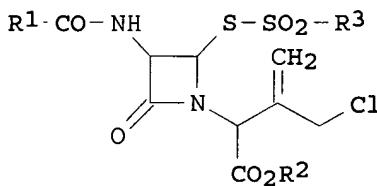
I



II



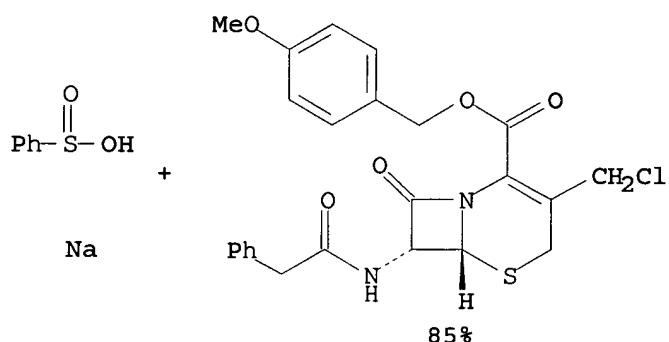
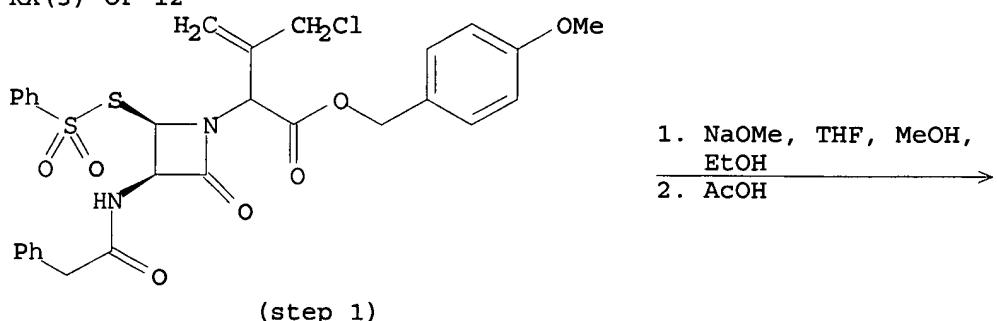
III



IV

AB An industrially advantageous process for producing 3-chloromethyl-3-cephem derivative crystals I (R^1 , R^2 = aryl). The process for 3-chloromethyl-3-cephem derivative production comprises: a first step in which a thiazolineazetidinone derivative II is reacted with a sulfonyl halide $\text{R}^3\text{SO}_2\text{X}$ [R^3 = (un)substituted aryl, heterocyclyl; X = halo] in the presence of an acid in a solvent to obtain azetidinone derivative III; a second step in which the azetidinone derivative III is reacted with a chlorinating agent in an organic solvent to obtain a chlorinated azetidinone derivative IV; and a third step in which the chlorinated azetidinone derivative IV is reacted with an alcoholate R^4OM (R^4 = organic group; M = alkali metal) at a pH of 8 or lower in a solvent comprising an alc. and an ether and a 3-chloromethyl-3-cephem derivative I is recovered in the form of crystals. Thus, crystals of I (R^1 = PhCH_2 , R^2 = 4-MeOC₆H₄CH₂) was prepared from the corresponding II.

RX(3) OF 12



CON: STAGE(1) 5 hours, -2 - 2 deg C, pH 7 - 8; 0.25 hours, 0 deg C
 STAGE(2) pH 4 - 5

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE
 FOR THIS RECORD. ALL CITATIONS AVAILABLE
 IN THE RE FORMAT

L9 ANSWER 3 OF 4 CASREACT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:76954 CASREACT

TITLE: Process for preparation of cepham derivatives
 from penam derivatives

INVENTOR(S): Deshpande, Pandurang Balwant; Palanisamy,
 Senthilkumar Udayampalayam; Ramar, Padmanabhan

PATENT ASSIGNEE(S): Orchid Chemicals and Pharmaceuticals Limited,
 India

SOURCE: PCT Int. Appl., 25 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000848	A1	20031231	WO 2002-IB3064	20020802
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,			

KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004002600 A1 20040101

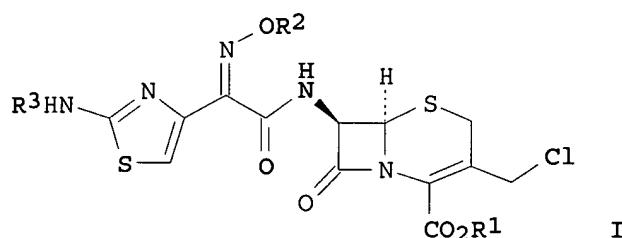
US 2002-207110 20020730

PRIORITY APPLN. INFO.:

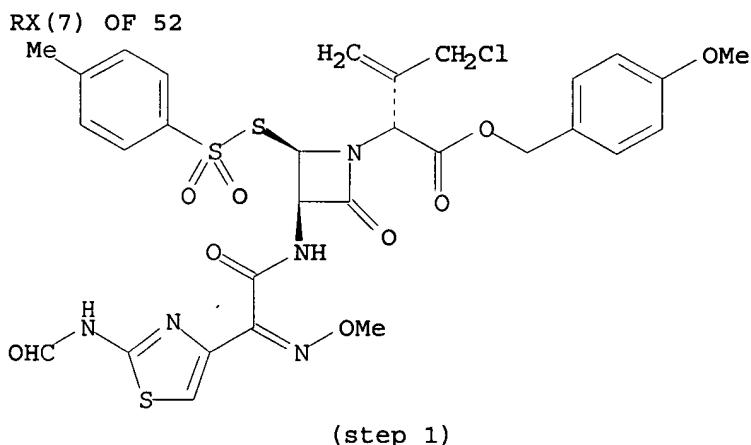
IN 2002-MA467 20020620

OTHER SOURCE(S): MARPAT 140:76954

GI

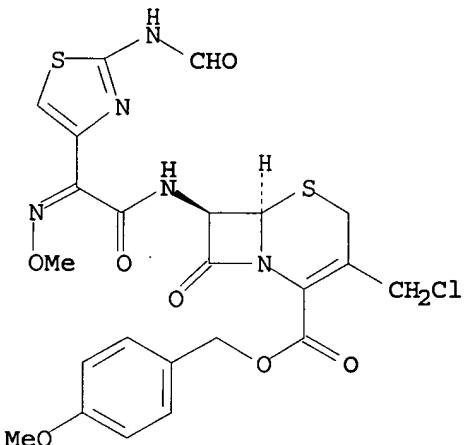


AB The present invention relates to a process for the preparation of cephalosporin derivs. such as I [R1 = p-methoxybenzyl, p-nitrobenzyl, o-chlorobenzyl, diphenylmethyl; R2 = Me, CRaRbCO2Rc; Ra, Rb = H, Me; Rc = H, alkyl; R3 = H, acyl, phenacyl, formyl, trityl] from 6-aminopenicillanic acid (II). Thus, cepham derivative I (R1 = CH2C6H4-4-NO2; R2 = Me; R3 = CHO) was prepared via a multistep synthetic sequence starting from II, S-benzothiazole-2-yl 2-(2-aminothiazol-4-yl)-2-(syn-methoxyimino)thioacetate, p-methoxybenzyl chloride and 2-mercaptopbenzothiazole.



$\xrightarrow[2. \text{ HCl, Water}]{1. \text{ NH}_3, \text{ DMF}}$

RX(7) OF 52



CON: room temperature -> -35 deg C

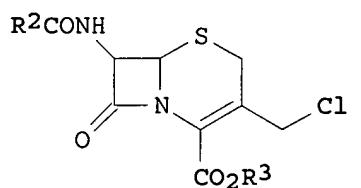
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE
FOR THIS RECORD. ALL CITATIONS AVAILABLE
IN THE RE FORMAT

L9 ANSWER 4 OF 4 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:59457 CASREACT
TITLE: Preparation of crystals of
3-chloromethyl-3-cephem derivatives as
intermediates for antibiotics
INVENTOR(S): Matsumoto, Nobuo; Kawakabe, Hiroshi; Manabe,
Yasuko
PATENT ASSIGNEE(S): Nippon Chemical Industrial Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp., Division of
Jpn. Kokai Tokkyo Koho Appl. No. 2003 46,421.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

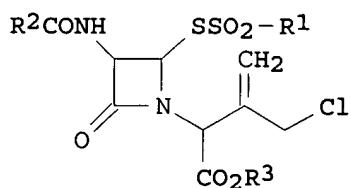
This work

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004002451	A2	20040108	JP 2003-203682	20030730
JP 3537050	B2	20040614		
CN 1539840	A	20041027	CN 2003-150030	20030421
PRIORITY APPLN. INFO.:			JP 2002-119038	20020422
			JP 2003-46421	20030224

OTHER SOURCE(S): MARPAT 140:59457
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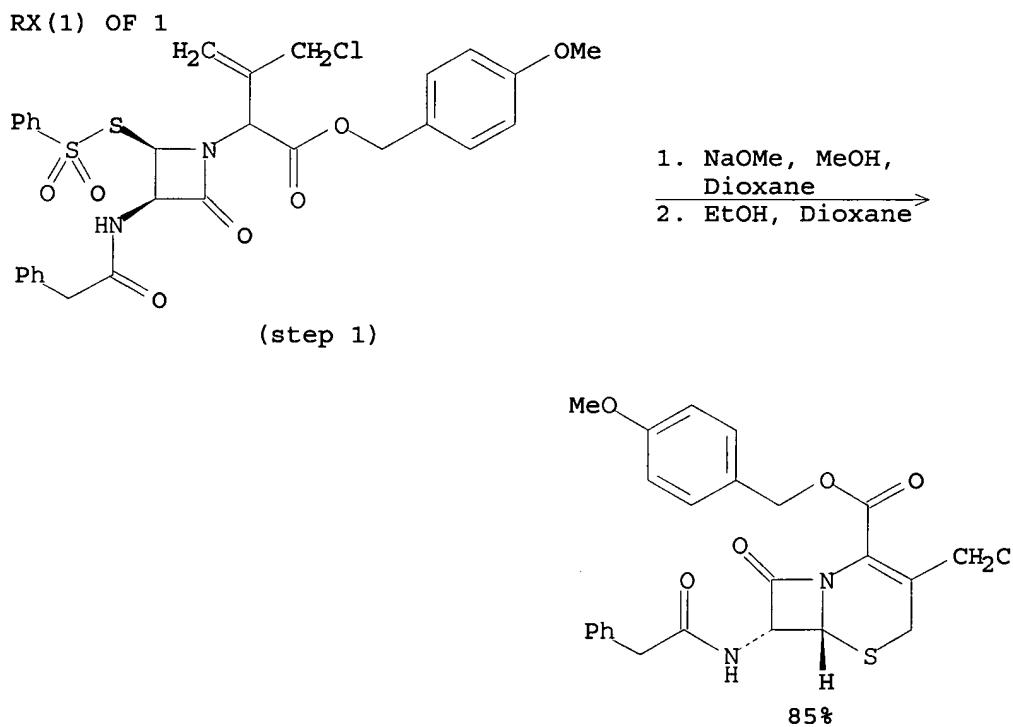


I



II

AB Title derivs. I [R₂, R₃ = (un)substituted aromatic] are prepared by treatment of azetidinones II [R₁ = (un)substituted aryl, (un)substituted heterocycl; R₂, R₃ = same as above] with alcoholates at pH ≤ 8 in the presence of alc.-containing solvents. Thus, dioxane-MeOH solution of II (R₁ = Ph, R₂ = PhCH₂, R₃ = 4-CH₂C₆H₄OMe) and MeONa/MeOH were simultaneously dropwise added to dioxane-EtOH mixture at -2 to 2° over 4 h to give 85.1% 3-chloromethyl-3-cephem derivative crystals, which showed good storage stability.



NOTE: alternative prepn. shown
CON: STAGE(2) room temperature -> 2 deg C, pH 4; 4 hours, 2 deg C,
pH 4 -> 8; 30 minutes, -2 - 2 deg C